# TTA-A2

**Cat. No.:** HY-111828

**CAS No.:** 953778-63-7

**Molecular Formula:** $C_{20}H_{21}F_3N_2O_2$

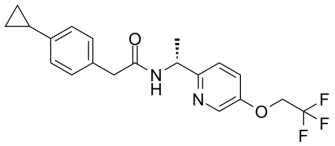
**Molecular Weight:** 378

**Target:** Calcium Channel

**Pathway:** Membrane Transporter/Ion Channel; Neuronal Signaling

**Storage:**

| | | |
|---|---|---|
| Powder | -20°C | 3 years |
| In solvent | -80°C | 6 months |
| | -20°C | 1 month |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 100 mg/mL (264.55 mM; Need ultrasonic)

| Preparing Stock Solutions | Concentration \ Solvent \ Mass | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 2.6455 mL | 13.2275 mL | 26.4550 mL |
| | 5 mM | 0.5291 mL | 2.6455 mL | 5.2910 mL |
| | 10 mM | 0.2646 mL | 1.3228 mL | 2.6455 mL |

Please refer to the solubility information to select the appropriate solvent.

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 2.5 mg/mL (6.61 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.61 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

TTA-A2 is a potent, selective and orally active t-type voltage gated calcium channel antagonist with reduced pregnane X receptor (PXR) activation. TTA-A2 is equally potent against the Cav3.1 ($a_1G$) and Cav3.2 ($a_1H$) channels with $IC_{50}$ values of 89 nM and 92 nM, respectively, at -80 and -100 mV holding potentials. TTA-A2 can be used for the research of a variety of human neurological diseases, including sleep disorders and epilepsy[1][2].

**$IC_{50}$ & Target**

IC50: 98 nM ( $\alpha_1$I at membrane holding potentials of -80 mV)
IC50: 3.7 μM ($\alpha_1$I at membrane holding potentials of -100 mV)[1]

**In Vitro**

TTA-A2 exhibits a state-dependent inhibition of $\alpha_1$I with potencies of 98 nM and 3.7 μM at membrane holding potentials of -80 and -100 mV, respectively in astandard voltage-clamp electrophysiology assay. It also exhibits excellent selectivity against the Cav1.2 (L-type), Cav2.1 (P/Q-type), Cav2.2 (N-type), and Cav2.3 (R-type) channels which all had $IC_{50}$ values of >30

| | |
|---|---|
| | μM at 80 mV[1].<br>TTA-A2 exhibits high affinity in the $\alpha_1$I binding assay with a $K_i$ of 1.2 nM and has excellent selectivity over the hERG potassium channel and L-type calcium channel (both $IC_{50}$>10 μM)[1].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **In Vivo** | TTA-A2 (oral gavage; 3 mg/kg; single dose) produces significant changes in sleep architecture in rats. A reduction in active wake soon after dosing with a concurrent increase in delta sleep and decrease in REM sleep. Additionally, these effects persists for up to 4 h post-dose in rats[1].<br>TTA-A2 (oral gavage; 10 mg/kg; once daily; 5 days) shows selective effect on recurrent thalamocortical network activity, it suppresses active wake and promotes slow-wave sleep in wild-type mice but not in mice lacking both Cav3.1 and Cav3.3[2].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

| | |
|---|---|
| Animal Model: | Wild-type and double Cav3.1/Cav3.3 knockout C57BL6/Sv129 background mices[2] |
| Dosage: | 10 mg/kg |
| Administration: | Oral gavage; 10 mg/kg; once daily; 5 days |
| Result: | Blocked active wake and promotes slow-wave sleep in wild-type mice but not mutant mice. |

## CUSTOMER VALIDATION

- Cell Commun Signal. 2024 Feb 1;22(1):92.

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## REFERENCES

[1]. Thomas S Reger, et al.Pyridyl amides as potent inhibitors of T-type

[2]. Richard L Kraus, et al.In vitro characterization of T-type calcium channel antagonist TTA-A2 and in vivo effects on arousal in mice. J Pharmacol Exp Ther. 2010 Nov;335(2):409-17.

**Caution: Product has not been fully validated for medical applications. For research use only.**

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